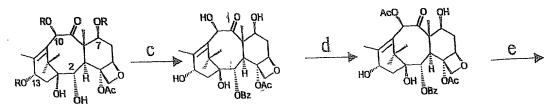


geranylgeranyl diphosphate

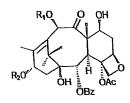
 $taxa-4(20),11(12)-dien-5\alpha-ol$

taxa-4(20),11(12)-dien-5\u03a4-yl acetate



intermediate 2-debenzoyltaxane R = H and/or Acyl 10-deacetylbaccatin III

baccatin III



Taxol: $R_1 = Ac$ $R_2 = \underline{N}-Bz$ phenylisoserinyl

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Tryptic Peptide Fragment

Peptide Sequence

• •	
SEQ ID NO: 29	TTLQLSSIDNLPGVR
SEQ ID NO: 30	ILVYYPPFAGR
	FTCGGFVVGVSF
SEQ ID NO: 31	KGLAEIARGEVK
SEQ ID NO: 32	NLPNDTNPSSGYYGN
SEQ ID NO: 33	NEFNDINIBBOTICS

FIG. 2

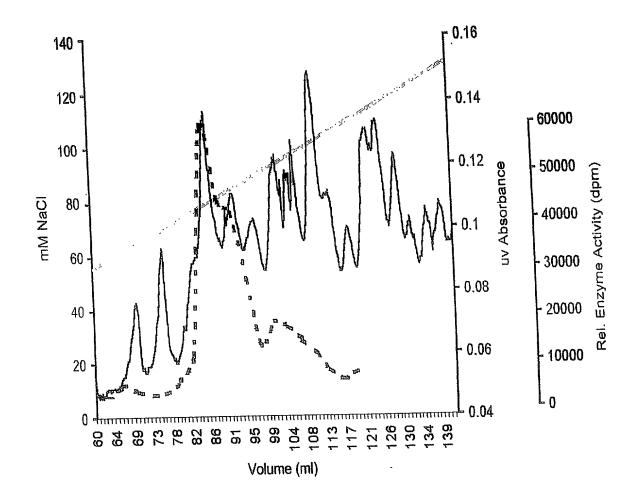


FIG. 3A

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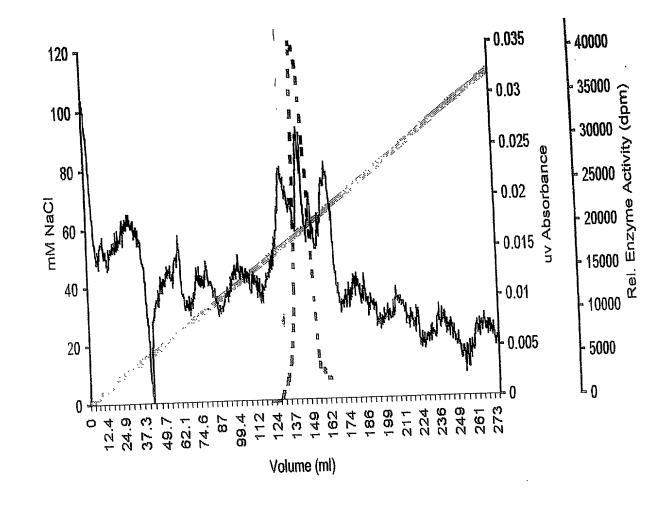


FIG. 3B

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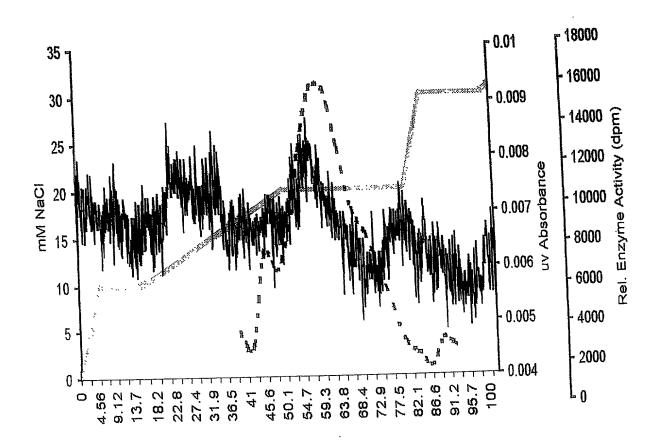


FIG. 3C

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kDa 96 ——

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FIG. 3D

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1H2-	I	L	V	Y	Y	P	P	-COOH	
5'	ATI	CTI	GTI	TAT	TAT	CCI	CC	3′	AT-FOR1
		${f T}$	C	C	С	C			(SEQ ID NO: 34)
			A			A			
NH2-	Y	Y	P	P	F	A	G	-COOH	
5 <i>'</i>	TAT	TAT	CCI	CCI	TTT	GCI	GG	3′	AT-FOR2
	C	С	C	C	С	C			(SEQ ID NO: 35)
			A	A		A			
FROM NH2-	SEQU:	ENCE Y	HOMOI	OGY (CONSII A	DERAT G	IONS R	-COOH	
5′	TTC	TAT	CCI	TTC	GCI	GGI	AG	3′	AT-FOR3
	T	С		Т	С	С			(SEQ ID NO: 36
					A	A			
NH2-	Y	Y	P	L	A	G	R	-COOH	
5'	TAC	TAT	CCI	TTI	GCI	GGI	AG	3′	
	T	C		С	C	C	C		(SEQ ID NO: 37
					A	Α			
NH2-	D	F	G	W	G	K	P	-COOH	_
3′	CTA	AAA	CCI	ACC	CCI	TTT	GG	5′	
	G	G	С		С	C			(SEQ ID NO: 3
	G	G	_		_	_			, ·- ·- ~

FIG. 4

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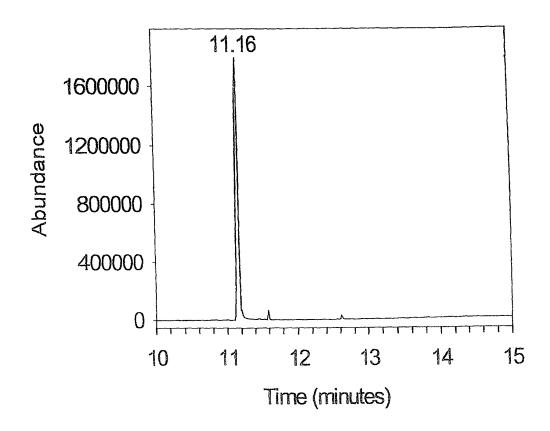


FIG. 5A

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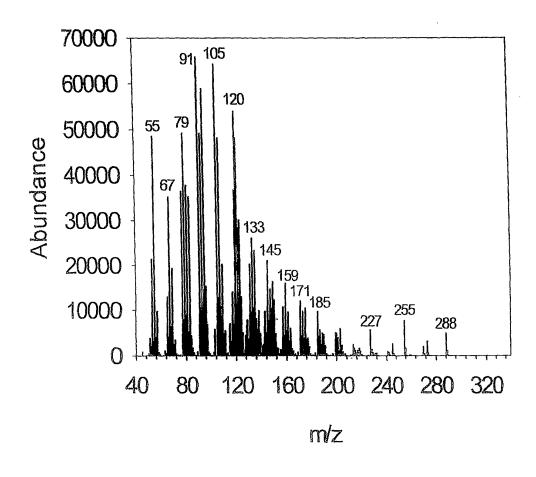


FIG. 5B

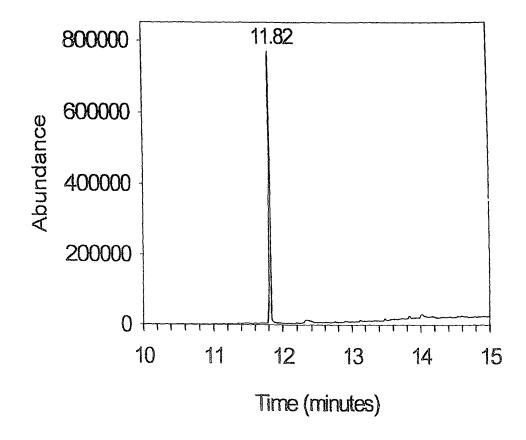


FIG. 5C

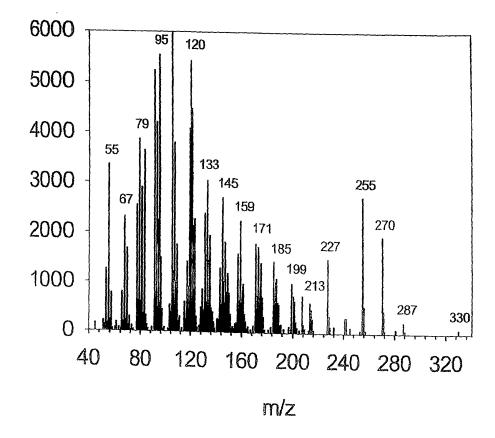


FIG. 5D



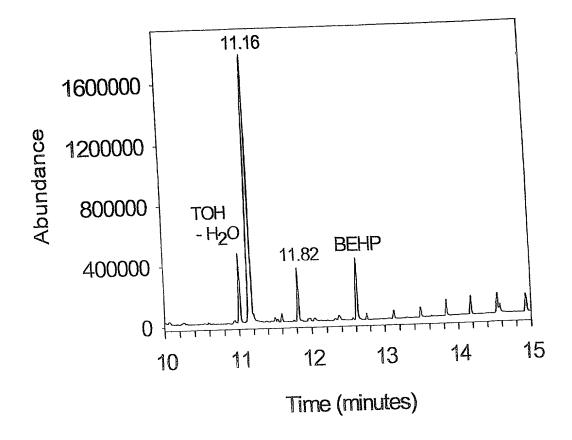


FIG. 5E

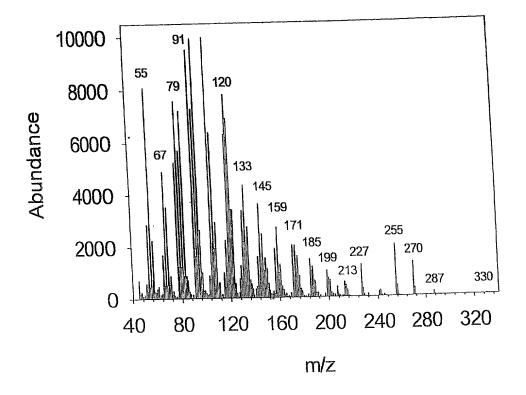


FIG. 5F

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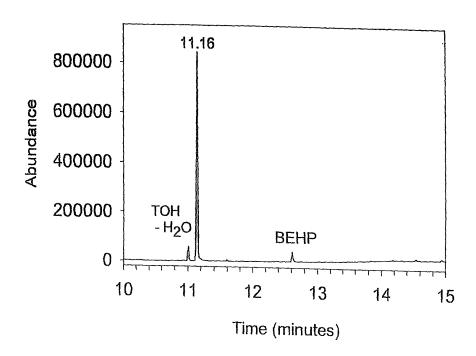


FIG. 5G

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FIG. 6A

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caa94432 (SEQ ID NO: 72)	
cab10318 (SEQ ID NO: 74)	
cab40761 (SEQ ID NO: 76)	

FIG. 6B

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FIG. 6

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TAX1 (SEQ ID NO: 28) LGD. F

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TAX1 (SEQ ID NO: 28) aab61522 (SEQ ID NO: 26) aab61523 (SEQ ID NO: 61) aab61523 (SEQ ID NO: 62) aab95293 (SEQ ID NO: 63) aac17079 (SEQ ID NO: 65) aac27152 (SEQ ID NO: 65) aac27152 (SEQ ID NO: 66) aac20531 (SEQ ID NO: 68) caa64636 (SEQ ID NO: 70) caa64636 (SEQ ID NO: 71) caa64636 (SEQ ID NO: 73) cab10318 (SEQ ID NO: 73) cab10319 (SEQ ID NO: 74)

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FIG. 6

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300 **4** 424 4 80 459 6-6 S S 428 400 4. 63 100 60 C ż Σ Σ Н ď ∢, \triangleright Ħ \vdash Н Н \triangleright LA н O × ĸ Н Н Ω_{i} ß ⋖ [zı 3 只 > > Н ď, ď ₫ \triangleright Þ \triangleright VK 区 z] Ω Z 4 Н Н × Ы \triangleright 54 [z] > Þ E-I 团 3 > Н Ξ \triangleright H Н Н > Н \mathbf{z} Н O O R D ভা Ŋ CH U O U E υĵ U 미 U U U CO) U O O Şί Ω 12 O! K Ω Ω Z Q D S S C Ω_1 ტ O Ω Ů Н Ω Ω Ö Ö 闰 团 囝 ט O K, \mathbf{z} × Ω \Box ø \mathbf{z} ы Z Ü O Ω Ω X KN ĸ O H ĸ 团 ហ \gt Д Ō ໜ 又 ტ ĸ ĸ \mathbf{z} 又 Еч EH 凶 ſΩ ល ď, \Box [x4 z $\mathbf{t}^{\prime\prime}$ × Ω Z. ΗQ 4 Ω Ġ Q ß Ω 团 Ø 더 Д Ω Z OG. Ω Д Z Д ທ Н Ω (A) Н $\boldsymbol{\sigma}$ \triangleright σ Ω ⊱ Ŋ Н × L L 면 저 ц Ŀı G Σ Ω 区 Σ Н 又 œ ĺτι \mathbf{z} ω α_{i} Н \mathbf{z} Z L GVV VMMV ß Н Н Þ O Ω_{1} ш Σ н Īυ Н S Q Q Σ Λ H H . A 1 ш ĮΞ > D, μ н Ω_{i} Þ Н 云 Н H \triangleright Ŀ Þ <u>۲</u> C λM G > H ы ≯ O Ŀ Н CVLL ហ υ \triangleright MONY Н × H Н ĮΞι [z1 Н Ø Z FKN D D ₹ 8 . S S Z U DNU Z z • ß ξŲ а Z O ĸ × ď, \triangleright >PQV ИНИ × Įει Ö • Н \triangleright Н Н × ALAI LA S υ Se . K G ŋ Þ Н ſτι ≯ ٠ Д വ Ω, Z $\mathbf{G}^{\mathbf{J}}$ σ 吆 М ₽ \mathbf{c} 団 Σ E E വ Ę٠ μ ΑV Н Ø Ω E Q Н H Ø Ξ ARI ĸ ш Ω G 工 Įπ ĮΣĮ ιΩ > Ø Ç H ល α ĸ Ü 跃 I \vdash ĸ ы \Box ĿЛ Ö α Ω Z 4 ď) 0 0 G A CΩ œ Н ĸ لعا ĸ Σ 54 Ω Q Ot . • Ω I O[‡] ы Oi Q I ტ þ Ħ Ħ Н Ω PVQ O > . Z Еч 幺 H Σ • \triangleright G Н ø ٩. Η S Ωı ш щ щ H U ល ര S ≻ ₽ Ö ш Ω, Q, ы G × ы Ŕ Ω (J) ഗ (V) U O ⊱⊀ 吆 Ü œ O Q > U Ü SLV ΛΜ LWV KYS N M FYT > A D E G N G N A V N V A D E G E G K E L W V N DEGECEPENAV æ ര S M D Z G W N E P V N L S SH G Ē N W ZY. V DEGEGNAYS [z, ū Īτι KAX KAI SPV N Н Н H 不可可 S 저 전 內 S A DEGMGKE E V DEGEGIE Pil T A D E G W G 뗑 E Y P MG DVDEGEG G L D E G M G EVDEGMG VENV**DEGMG** AMDEGMG Ω CH U OVDEGW. EASEEW ΩĮ S F O H EVD NVG \triangleright ы ы Ω Ω 딥 ы ≯ ∑ Ö ≻ \Box × . . • [1] • Þ ប ტ Ξ ΥŢ X Z ᅜ W F Ŀ ш ۸ ۲ Σ N A Ϋ́ ы >-L i i G G 메 ы Ш Ρij G ρį O G NO: 74) P G Pi ρij 63 9 64) 73 TAX1 (SEQ ID NO: 28) NO: 26) NO: 62) NO: 65) NO: 66) NO: 67) NO: 69) NO: 70) NO: 68) NO: 72) SOZ S Š ÖZ Ö 0 \Box \Box Ω Ω <u>Q</u> (SEQ ID (SEQ ID cab10318 (SEQ ID cab40761 (SEQ ID $\underline{\mathbf{Q}}$ \Box $\underline{\circ}$ Ō (SEQ ID (SEQ ID (SEQ ID (SEQ (SEQ (SEQ (SEQ SEQ (SEQ SEQ SEQ. SEQ (SEQ TAX2 aab61523 caa20531 caa64636 caa94432 cab10319 aab95293 aac17079 aac18062 aac27152 aad12025 aab61522 aab97723 aac99311 cab06427

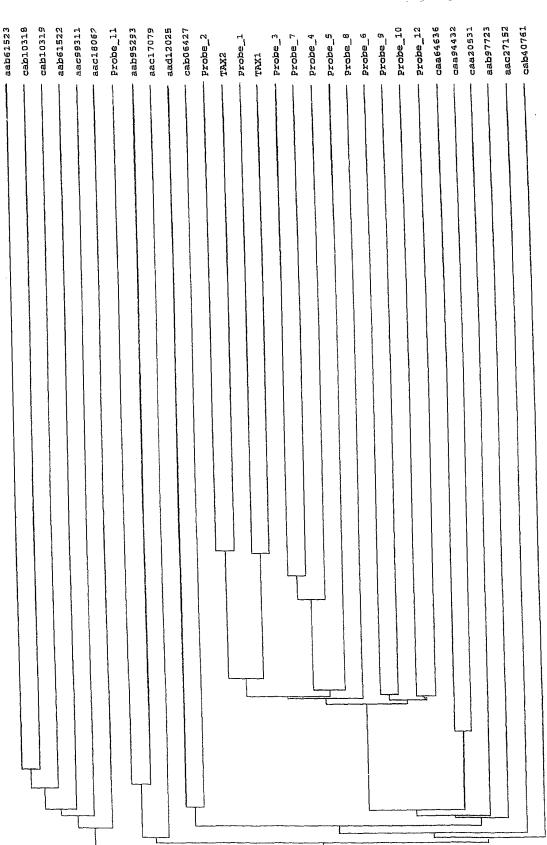
FIG. 6W

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439	440	6.3 6.3	436	482	40	572	433	450	439	45	467	460	455	445	446	435	458
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Donald L. Stephens, Jr.
Our Ref. No. 4630-59094/DLS/IOC
Inventors: Croteau et al.
For: TRANSACYLASES OF THE PACLITAXEL
BIOSYNTHETIC PATHWAY
Application No. 09/866,570, filed May 25, 2001
Mailed: September 20, 2001
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geranylgeranyl diphosphate

taxa-4(20),11(12)-dien-5α-ol

taxa-4(20),11(12)-dien-5α-yl acetate

10-deacetylbaccatin III

baccatin III

Taxol: $R_1 = Ac$ $R_2 = \underline{N}$ -Bz phenylisoserinyl

Taxotère R1 = H R2 = N-t-BOC phenylisoserinyl

FIG. 8A

Donald L. Stephens, Jr.
Our Ref. No. 4630-59094/DLS/IOC
Inventors: Croteau et al.
For: TRANSACYLASES OF THE PACLITAXEL
BIOSYNTHETIC PATHWAY
Application No. 09/866,570, filed May 25, 2001
Mailed: September 20, 2001
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4(20)-ene-5
$$\alpha$$
-ol 4(20)-ene-5 α -yl acetate 4(20)-epoxy-5 α -yl acetate oxetane

FIG. 8B

Donald L. Stephens, Jr.
Our Ref. No. 4630-59094/DLS/JOC
Inventors: Croteau et al.
For: TRANSACYLASES OF THE PACLITAXEL
BIOSYNTHETIC PATHWAY
Application No. 09/866,570, filed May 25, 2001
Mailed: September 20, 2001
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